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(71) Applicant (for all designated States except US):
JANSSEN PHARMACEUTICA N.V. [BE/BE]; Turnhoutseweg 30, B-2340 Beerse (BE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **FREYNE, Eddy, Jean, Edgard** [BE/BE]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). **LOVE, Christopher, John** [GB/BE]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). **COOYMANS, Ludwig, Paul** [BE/BE]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). **VANDER-MAESEN, Nele** [BE/BE]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). **BUIJN-STER, Peter, Jacobus, Johannes, Antonius** [NL/BE]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). **WILLEMS, Marc** [BE/BE]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). **EMBRECHTS, Werner, Constant, Johan** [BE/BE]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE).

(74) Common Representative: **JANSSEN PHARMACEUTICA N.V.**; Turnhoutseweg 30, B-2340 Beerse (BE).

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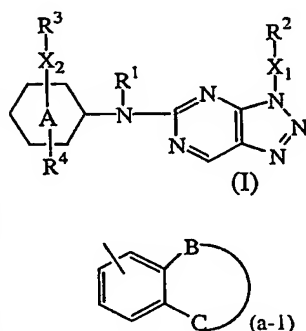
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(54) Title: **TRIAZOLOPYRIMIDINE DERIVATIVES AS GLYCOGEN SYNTHASE KINASE 3 INHIBITORS**



(57) Abstract: This invention concerns compounds of formula (I) a N-oxide, a pharmaceutically acceptable addition salt, a quaternary amine and a stereochemically isomeric form thereof, wherein ring A represents phenyl, pyridyl, pyrimidinyl, pyridazinyl or pyrazinyl; R¹ represents hydrogen; aryl; formyl; C₁₋₆ alkylcarbonyl; C₁₋₆ alkyl; C₁₋₆ alkyloxycarbonyl; C₁₋₆ alkyl substituted with formyl, C₁₋₆ alkylcarbonyl, C₁₋₆ alkyloxycarbonyl, C₁₋₆ alkylcarbonyloxy; or optionally substituted C₁₋₆ alkyloxyC₁₋₆ alkylcarbonyl; X₁ represents a direct bond; -(CH₂)_{n3}- or -(CH₂)_{n4}-X_{1a}-X_{1b}-; R² represents optionally substituted C₃₋₇ cycloalkyl; phenyl; a 4, 5, 6- or 7-membered monocyclic heterocycle containing at least one heteroatom selected from O, S or N; benzoxazolyl or a radical of formula (a-1); X₂ represents a direct bond; -NR¹-NR¹-(CH₂)_{n3}-; -O-; -O-(CH₂)_{n3}-; -C(=O)-; -C(=O)-(CH₂)_{n3}-; -C(=O)-NR⁵-(CH₂)_{n3}-; -C(=S)-; -S-; -S(=O)_{n1}-; -(CH₂)_{n3}-; -(CH₂)_{n4}-X_{1a}-X_{1b}-; -X_{1a}-X_{1b}-(CH₂)_{n4}-; -S(=O)_{n1}-NR⁵-(CH₂)_{n3}-NR⁵- or -S(=O)_{n1}-NR⁵-(CH₂)_{n3}-; R³ represents an optionally substituted 5- or 6-membered monocyclic heterocycle containing at least one heteroatom selected from O, S or N, or a 9- or 10-membered bicyclic heterocycle containing

at least one heteroatom selected from O, S or N; R⁴ represents hydrogen; halo; hydroxy; optionally substituted C₁₋₄ alkyl; optionally substituted C₂₋₄ alkenyl or C₂₋₄ alkynyl; polyhaloC₁₋₃ alkyl; optionally substituted C₁₋₄ alkyloxy; polyhaloC₁₋₃ alkyloxy; C₁₋₄ alkylthio; polyhaloC₁₋₃ alkylthio; C₁₋₄ alkyloxycarbonyl; C₁₋₄ alkylcarbonyloxy; C₁₋₄ alkylcarbonyl; polyhaloC₁₋₄ alkylcarbonyl; nitro; cyano; carbonyl; NR⁹R¹⁰; C(=O)NR⁹R¹⁰; -NR⁵-C(=O)-NR⁹R¹⁰; -NR⁵-C(=O)-R⁵; -S(=O)_{n1}-R¹¹-NR⁵-S(=O)_{n1}-R¹¹-S-CN; -NR⁵-CN; their use, pharmaceutical compositions comprising them and processes for their preparation.



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